

10/616,283

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STM-STRUCTURE SEARCH
3.30.04

L6 ANSWER 1 OF 6 CAPLUS COPYRIGHT 2004 ACS on STM

ACCESSION NUMBER: 2002:502825 CAPLUS

DOCUMENT NUMBER: 137:63237

TITLE: Preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compounds as antidiabetic and antiobesity agents

INVENTOR(S): Cheng, Peter T.; Devasthale, Pratik; Jeon, Yoon; Chen, Sean; Zhang, Hao

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: U.S., 190 pp., Cont.-in-part of U.S. Ser. No. 664,598. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

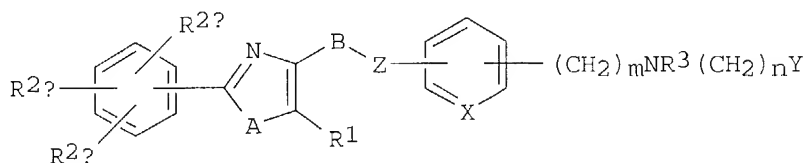
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

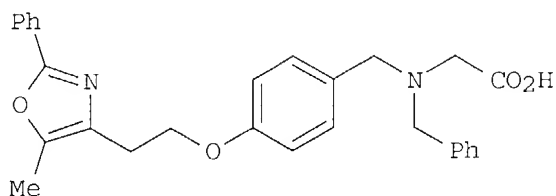
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6414002	B1	20020702	US 2001-812960	20010320
US 2003069275	A1	20030410	US 2002-80965	20020222
US 2003087935	A1	20030508	US 2002-81075	20020222
US 2003096846	A1	20030522	US 2002-80981	20020222
US 6653314	B2	20031125		

PRIORITY APPLN. INFO.:
US 1999-155400P P 19990922
US 2000-664598 A2 20000918
US 2001-812960 A3 20010320

OTHER SOURCE(S): MARPAT 137:63237
GI



I



II

AB Title compds. I [wherein Q = C, N; A = O, S; B = (CH₂)_x; Z = O, bond; X = CH, N; R₁ = H, alkyl; R₂ = H, alkyl, alkoxy, halo, amino; R₃ = H, alkyl, aralkyl, aryloxyacarbonyl, alkoxyacarbonyl, arylcarbonyl, alkylcarbonyl, aryl, heteroaryl, hydroxyalkyl, aryloxyaryalkyl, etc.; R_{2a}, R_{2b}, R_{2c} = H, alkyl, alkoxy, halo, amino; Y = CO₂R₄, 1-tetrazolyl, PO(OR_{4a})R₅; R₄ = H, alkyl, prodrug or ester; R_{4a} = H, prodrug ester; R₅ = alkyl, aryl; x = 1-4; m, n = 1, 2] were prepared as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). For example, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4-ethanol, Ph₃P, and DEAD were stirred in THF at 0°-room temperature to

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give 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde (65%). Addition of N-benzylglycine Et ester and NaBH(OAc)₃ in 1,2-dichloroethane afforded the benzylamine derivative (55%), which was stirred with aqueous NaOH in MeOH for

14 h

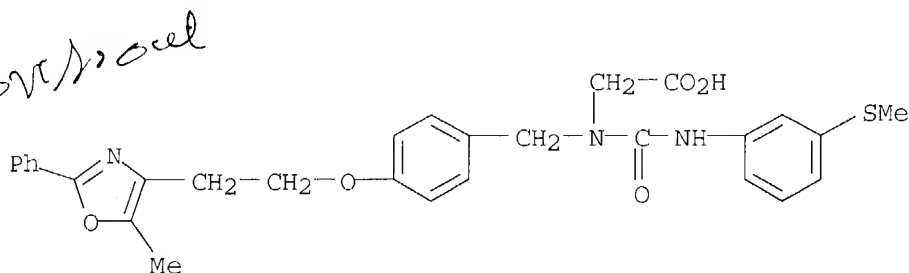
to give the title compound II (71%). I are useful for the treatment of diabetes, especially Type II diabetes, as well as hyperglycemia, hyperinsulinemia, hyperlipidemia, obesity, atherosclerosis, and related diseases (no data).

IT 331743-71-6P, Glycine, N-[[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N-[[[3-(methylthio)phenyl]amino]carbonyl]-
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)

RN 331743-71-6 CAPLUS

CN Glycine, N-[[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N-[[[3-(methylthio)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:228872 CAPLUS

DOCUMENT NUMBER: 134:266299

TITLE: Preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compounds as antidiabetic and antiobesity agents.

INVENTOR(S): Cheng, Peter T. W.; Devasthale, Pratik; Jeon, Yoon T.; Chen, Sean; Zhang, Hao

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 362 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021602	A1	20010329	WO 2000-US25710	20000919
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1218361	A1	20020703	EP 2000-965172	20000919
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

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IE, SI, LT, LV, FI, RO, MK, CY, AL

BR 2000014189 A 20020820 BR 2000-14189 20000919

JP 2003509503 T2 20030311 JP 2001-524981 20000919

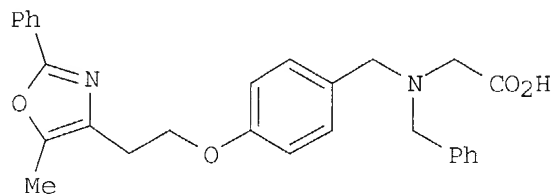
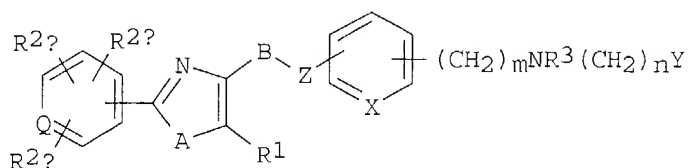
NO 2002001408 A 20020514 NO 2002-1408 20020321

PRIORITY APPLN. INFO.: US 1999-155400P P 19990922

WO 2000-US25710 W 20000919

OTHER SOURCE(S): MARPAT 134:266299

GI



AB Title compds. [I; Q = C, N; A = O, S; B = (CH₂)_x; Z = O, bond; X = CH, N; R₁ = H, alkyl; R₂ = H, alkyl, alkoxy, halo, amino; R₃ = H, alkyl, aralkyl, aryloxy carbonyl, alkoxy carbonyl, aryl carbonyl, alkyl carbonyl, aryl, heteroaryl, hydroxyalkyl, aryloxyarylalkyl, etc.; R_{2a}, R_{2b}, R_{2c} = H, alkyl, alkoxy, halo, amino; Y = CO₂R₄, 1-tetrazolyl, PO(OR_{4a})R₅; R₄ = H, alkyl, prodrug or ester; R_{4a} = H, prodrug ester; R₅ = alkyl, aryl; x = 1-4; m, n = 1, 2], were prepared as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). Thus, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4-ethanol, Ph₃P, and DEAD were stirred in THF at 0°-room temperature to give 65% 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde. This was stirred 12 h with N-benzylglycine Et ester and NaBH(OAc)₃ in 1,2-dichloroethane to give 55% benzylamine derivative, which was stirred 14 h with aqueous NaOH in MeOH to give 71% title compound (II).

IT **331743-71-6P**

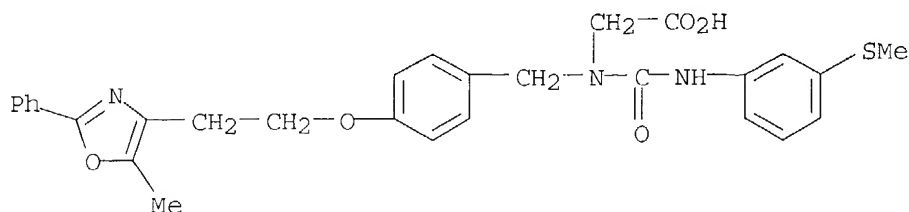
RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)

RN 331743-71-6 CAPLUS

CN Glycine, N-[[[4-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N-[[[3-(methylthio)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)

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REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2001:167981 CAPLUS
DOCUMENT NUMBER: 134:208132
TITLE: Preparation of hypoglycemic N,N-aryl(sulfonyl)glycine compounds
INVENTOR(S): Dominianni, Samuel James
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001016119	A1	20010308	WO 2000-US20779	20000816
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1214301	A1	20020619	EP 2000-959153	20000816
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
US 6617343	B1	20030909	US 2002-69033	20020507
PRIORITY APPLN. INFO.: US 1999-151167P P 19990827				
WO 2000-US20779 W 20000816				

OTHER SOURCE(S): MARPAT 134:208132

AB Aryl(sulfonyl)glycine compds. R3SO2NRCR1R2CO2H [R is Ph substituted by alkoxyalkyl, alkoxyaryl, alkoxyalkylaryl, aralkylalkoxy, or alkoxyalkylheterocyclyl; R1-R3 represent alkyl, alkenyl, alkynyl, aryl, aralkyl, heteroaryl, or heteroaralkyl fragments of 1 to 8 carbon atoms with or without substituents; R1 and R2 may independently be hydrogen] and their pharmaceutically acceptable salts or prodrugs were prepared for treating hyperglycemia associated with non-insulin dependent diabetes and for treating hyperlipidemia. Thus, N-[4-[2-(2-phenyl-4-oxazolyl)ethoxy]phenyl]-N-(isopropylsulfonyl)glycine was prepared by treating 4-[2-(2-phenyl-4-oxazolyl)ethoxy]aniline with isopropylsulfonyl chloride and then Me bromoacetate. Pharmaceutical formulations containing the title compds. are described.

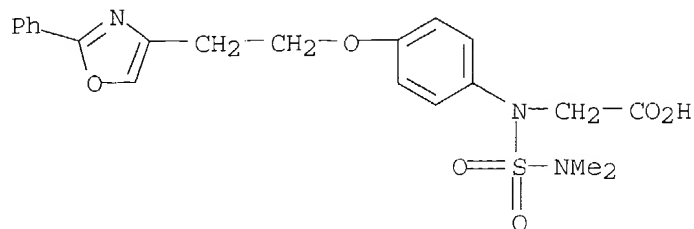
IT 328248-01-7P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hypoglycemic arylsulfonyl-glycine compds.)

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RN 328248-01-7 CAPLUS

CN Glycine, N-[(dimethylamino)sulfonyl]-N-[4-[2-(2-phenyl-4-oxazolyl)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1998:250700 CAPLUS

DOCUMENT NUMBER: 128:295059

TITLE: Preparation of pyridyl- and naphthyridylalkoxybenzoyl- α -(phenylsulfonylamino)- β -alanine derivatives and analogs for inhibiting osteoclast-mediated bone resorption

INVENTOR(S): Hartman, George D.; Duggan, Mark E.; Hoffman, William F.; Ihle, Nathan C.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: U.S., 57 pp., Cont.-in-part of U.S. Ser. No. 250,218, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

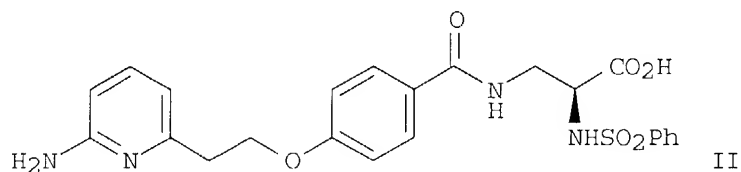
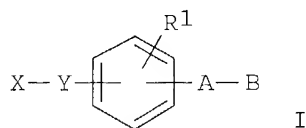
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5741796	A	19980421	US 1996-714097	19960926
WO 9532710	A1	19951207	WO 1995-US5938	19950512
W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5929120	A	19990727	US 1998-15982	19980130
PRIORITY APPLN. INFO.:			US 1994-250218	B2 19940527
			WO 1995-US5938	W 19950512
			US 1996-714097	A3 19960926

OTHER SOURCE(S): MARPAT 128:295059

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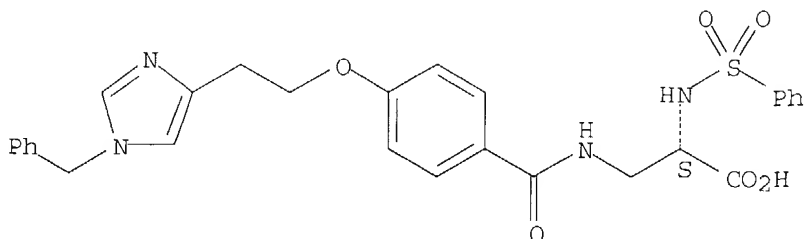
AB Compds. of structure I [X = various amino, amidino, guanidino, and N-heterocyclic groups; Y = alkylene, alkynylene, alkenylene, etc.; B = alkylene with optional amide moiety in chain; R1 = H, alkoxyalkyl, alkoxyalkyl, (di)(alkyl)aminoalkyl, aralkyl; R6, R7 = H, (di)alkylaminoalkyl, alkoxyalkylaminoalkyl, alkylsulfonylaminoalkyl, alkylcarbonylaminoalkyl; R12 = OH, alkoxy, dialkylaminocarbonylmethoxy, arylalkylaminocarbonylmethoxy; with provisos], are described which inhibit osteoclast-mediated bone resorption. Specifically, the compds. are useful for treating mammals suffering from a bone condition caused or mediated by increased bone resorption, who are in need of such therapy. The compds. may be administered in oral dosage forms such as tablets, capsules, e.g. sustained release capsules, powders, granules, and suspensions. Syntheses of approx. 50 compds. in 37 synthetic examples are described. Thus, amidation of Me 4-[2-(4-aminopyridin-6-yl)ethoxy]benzoic acid (preparation given) with (R)-H2NCH2CH(NHSO2Ph)CO2CMe3.HCl (preparation given) using EDC, N-hydroxybenzotriazole (HOBt), and N-methylmorpholine in DMF, followed by deprotection with CF3CO2H gave desired compound II. In EIB and OCFORM assays, prepared compds. I had values ranging 0.5-500 nM and 1-1000 nM, resp.

IT **163209-40-3P**
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of pyridyl- and naphthyridylalkoxybenzoyl β -alanine derivs. and analogs as bone resorption inhibitors)

RN 163209-40-3 CAPLUS

CN L-Alanine, 3-[[4-[2-[1-(phenylmethyl)-1H-imidazol-4-yl]ethoxy]benzoyl]amino]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **163210-54-6P**

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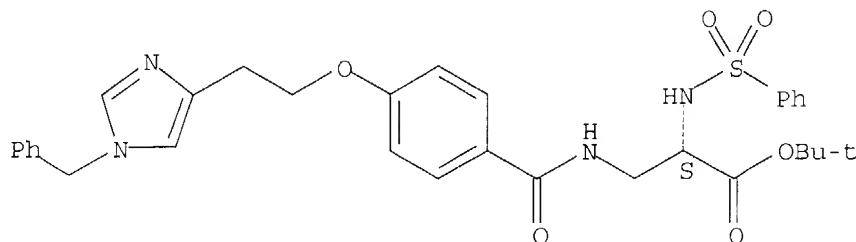
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyridyl- and naphthyridylalkoxybenzoyl β -alanine derivs. and analogs as bone resorption inhibitors)

RN 163210-54-6 CAPLUS

CN L-Alanine, 3-[[4-[2-[1-(phenylmethyl)-1H-imidazol-4-yl]ethoxy]benzoyl]amino]-N-(phenylsulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1996:181547 CAPLUS

DOCUMENT NUMBER: 124:232066

TITLE: N-(Guanidinoalkoxybenzoyl)- α -(phenylsulfonylamino)- β -alanine derivatives and analogs for inhibiting osteoclast-mediated bone resorption

INVENTOR(S): Hartman, George D.; Duggan, Mark E.; Ihle, Nathan C.; Hoffman, William F.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 241 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

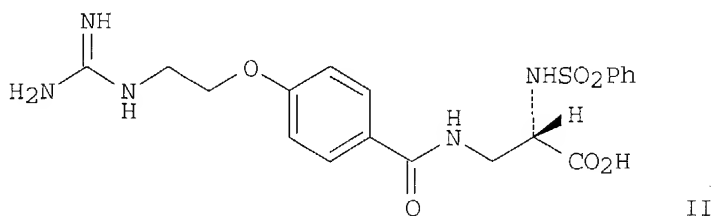
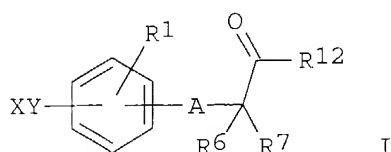
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9532710	A1	19951207	WO 1995-US5938	19950512
W:	AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ			
RW:	KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2190870	AA	19951207	CA 1995-2190870	19950512
AU 9525868	A1	19951221	AU 1995-25868	19950512
AU 701776	B2	19990204		
EP 760658	A1	19970312	EP 1995-920409	19950512
EP 760658	B1	20021113		
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			
JP 10501222	T2	19980203	JP 1995-500899	19950512
AT 227567	E	20021115	AT 1995-920409	19950512
ES 2186720	T3	20030516	ES 1995-920409	19950512
US 5741796	A	19980421	US 1996-714097	19960926
PRIORITY APPLN. INFO.:			US 1994-250218	A 19940527

OTHER SOURCE(S):

MARPAT 124:232066

GI



AB Compds. of structure I [X = various amino, amidino, guanidino, and N-heterocyclic groups; Y = alkylene, alkynylene, alkenylene, etc.; B = alkylene with optional amide moiety in chain; R1 = H, alkoxyalkyl, alkoxyalkyl, (di)(alkyl)aminoalkyl, aralkyl; R6, R7 = H, (di)alkylaminoalkyl, alkoxyalkylaminoalkyl, alkylsulfonylaminoalkyl, alkylcarbonylaminoalkyl; R12 = OH, alkoxy, dialkylaminocarbonylmethoxy, arylalkylaminocarbonylmethoxy; with a proviso], which inhibit osteoclast-mediated bone resorption. Syntheses of approx. 50 compds. in 37 synthetic examples are described. For example, amidation of 4-(BOC-NHCH2CH2O)C6H4CO2H with (R)-H2NCH2CH(NHSO2Ph)CO2Bu-tert.HCl [preparation given] using BOP reagent and NMM in MeCN, followed by deprotection with CF3CO2H and condensation of the amine with DPFN [3,5-dimethyl-1-pyrazolylformamidine nitrate], gave title compound II. In the EIB and OCFORM assays, I had values ranging 0.5-500 nM and 1-1000 nM, resp.

IT 163210-54-6P

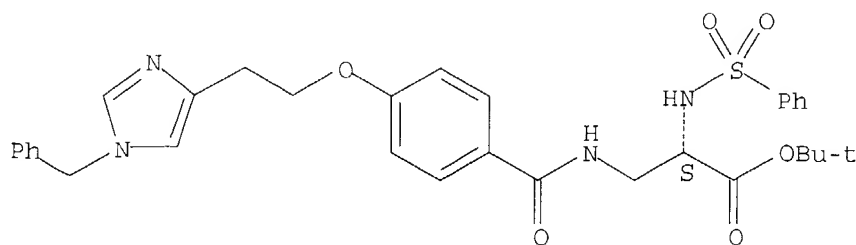
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of N-(guanidinoalkoxybenzoyl)- α -(phenylsulfonylamino)- β -alanine derivs. and analogs as bone resorption inhibitors)

RN 163210-54-6 CAPLUS

CN L-Alanine, 3-[[4-[2-[1-(phenylmethyl)-1H-imidazol-4-yl]ethoxy]benzoyl]amino]-N-(phenylsulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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IT 163209-40-3P

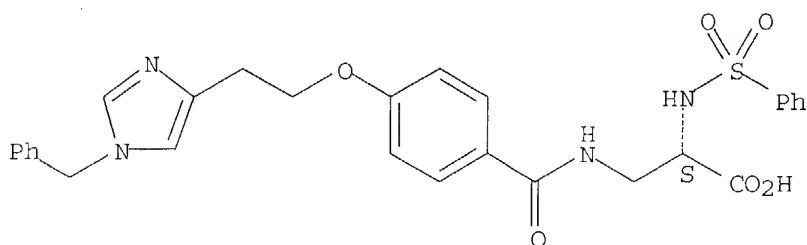
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(product and intermediate; preparation of N-(guanidinoalkoxybenzoyl)- α -(phenylsulfonylamino)- β -alanine derivs. and analogs as bone resorption inhibitors)

RN 163209-40-3 CAPLUS

CN L-Alanine, 3-[[4-[2-[1-(phenylmethyl)-1H-imidazol-4-yl]ethoxy]benzoyl]amino]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 6 OF 6 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1995:563197 CAPLUS

DOCUMENT NUMBER: 122:315098

TITLE: Preparation of peptide analogs as fibrinogen receptor antagonists

INVENTOR(S): Egbertson, Melissa S.; Turchi, Laura M.; Hartman, George D.; Halczenko, Wasyl; Whitman, David B.; Perkins, James J.; Krause, Amy E.; Ihle, Nathan; Claremon, David Alan; et al.

PATENT ASSIGNEE(S): Merck and Co., Inc., USA

SOURCE: PCT Int. Appl., 236 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

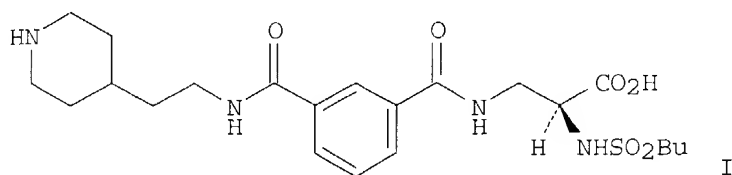
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9412181	A1	19940609	WO 1993-US11623	19931129
W:	AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US, UZ			
RW:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG			
CA 2150550	AA	19940609	CA 1993-2150550	19931129
AU 9458268	A1	19940622	AU 1994-58268	19931129
AU 675689	B2	19970213		
EP 673247	A1	19950927	EP 1994-904069	19931129
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE			
JP 08504194	T2	19960507	JP 1993-513464	19931129
US 5648368	A	19970715	US 1995-448347	19950601

PRIORITY APPLN. INFO.: US 1992-984671 19921201
WO 1993-US11623 19931129

OTHER SOURCE(S): MARPAT 122:315098

GI



AB X-Y-Z-Ar-A-B [X = NR₁R₂, NR₁C(:NR₂)R₁, (substituted) 4-10 membered mono- or polycyclic (aromatic) ring, etc.; R₁-R₃ = H, alkyl, cycloalkyl, arylalkyl, aminoalkyl, hydroxyalkyl, etc.; Y = alkylene, cycloalkylene, Y₁NR₃COY₁, etc.; Y₁ = C0-8 alkyl; Z, A = (CH₂)_m, (CH₂)_mO(CH₂)_n, (CH₂)_mNR₃(CH₂)_n, (CH₂)_mSO₂(CH₂)_n, etc.; Ar = (substituted) 6-membered monocyclic aromatic ring containing 0-4 N atoms; B = CR₆R₇COR₁₂, CR₈R₉CR₁₀R₁₁(CH₂)_pCOR₁₂; R₇-R₁₁ = H, F, hydroxyalkyl, carboxyalkyl, alkoxy, cycloalkyl, dialkylaminoalkyl, arylalkylaminosulfonylalkyl, etc.; p = 0, 1; R₁₂ = OH, alkoxy, alkylcarbonyloxyalkoxy, amino acid residue, etc.; with provisos], were prepared Title compound I was prepared by solution phase coupling methods. Preferred title compds. inhibited platelet aggregation with IC₅₀ = 0.009-170 μM.

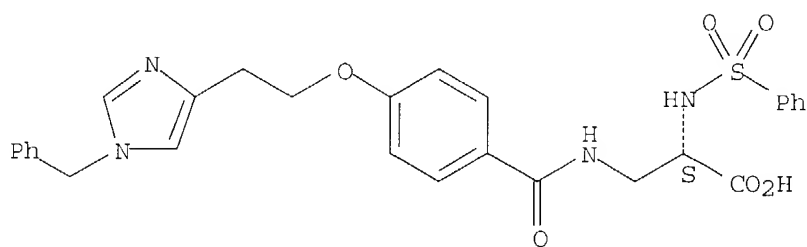
IT **163209-40-3P**

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide analogs as fibrinogen receptor antagonists)

RN 163209-40-3 CAPLUS

CN L-Alanine, 3-[[4-[2-[1-(phenylmethyl)-1H-imidazol-4-yl]ethoxy]benzoyl]amino]-N-(phenylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **163210-54-6P**

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

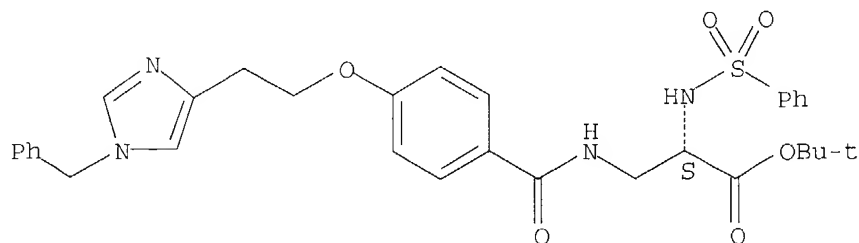
(preparation of peptide analogs as fibrinogen receptor antagonists)

RN 163210-54-6 CAPLUS

CN L-Alanine, 3-[[4-[2-[1-(phenylmethyl)-1H-imidazol-4-yl]ethoxy]benzoyl]amino]-N-(phenylsulfonyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

10/616,283



=> d his

(FILE 'HOME' ENTERED AT 08:47:59 ON 30 MAR 2004)

FILE 'REGISTRY' ENTERED AT 08:48:09 ON 30 MAR 2004

L1 STRUCTURE UPLOADED

L2 0 S L1

L3 498238 S 3-4/NR AND 3-6/N AND 3-7/O AND 0-1/S

L4 0 S L1 SAM SUB=L3

L5 4 S L1 FULL SUB=L3

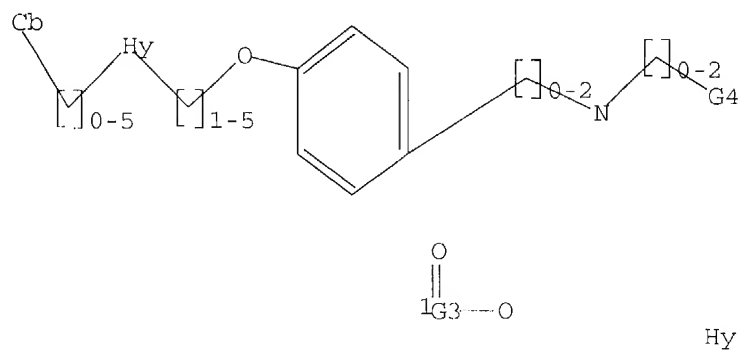
FILE 'CAPLUS' ENTERED AT 08:50:34 ON 30 MAR 2004

L6 6 S L5

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1

G2

G3 C, P

G4 [@1], [@2]

Structure attributes must be viewed using STN Express query preparation.

=> => d ibib abs hitstr 1-4

L12 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2003:396869 CAPLUS

DOCUMENT NUMBER: 138:401724

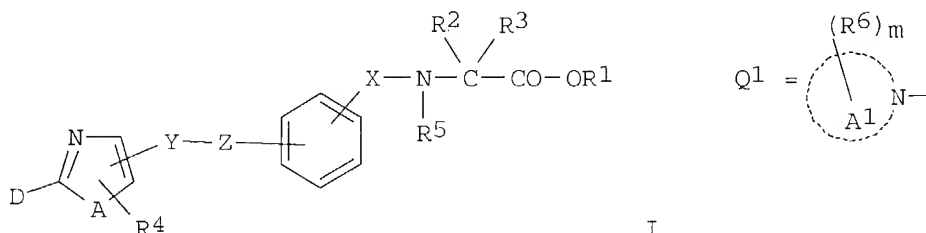
TITLE: Preparation of carboxylic acid derivatives as

10/616,283

INVENTOR(S): peroxisome proliferator activated receptor regulators
Tajima, Hisao; Nakayama, Yoshisuke
PATENT ASSIGNEE(S): Ono Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003042194	A1	20030522	WO 2002-JP11729	20021111
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: JP 2001-346583 A 20011112
OTHER SOURCE(S): MARPAT 138:401724
GI



AB The title compds. I [X, Y = alkylene; Z = O, S; R1 - R4 = H, alkyl; R5 = alkenyl; A = O, S; D = Q1, etc.; ring A1 = saturated heteroaryl; R6 = H, alkyl, etc.; m = 1 - 3] are prepared I are useful in the treatment of diabetes, obesity, syndrome X, hypercholesterolemia, etc. The peroxisome proliferator activated receptor regulating activity of one compound of this invention was demonstrated. Formulations are given.

IT **530130-12-2P**

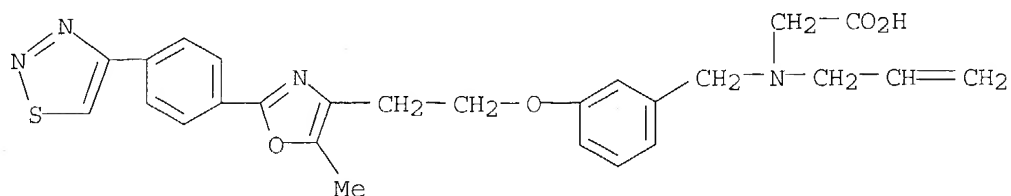
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation and bioeffect of carboxylic acid derivs. as peroxisome proliferator activated receptor regulators)

RN 530130-12-2 CAPLUS

CN Glycine, N-[[3-[2-[5-methyl-2-[4-(1,2,3-thiadiazol-4-yl)phenyl]-4-oxazolyl]ethoxy]phenyl]methyl]-N-2-propenyl- (9CI) (CA INDEX NAME)

10/616,283



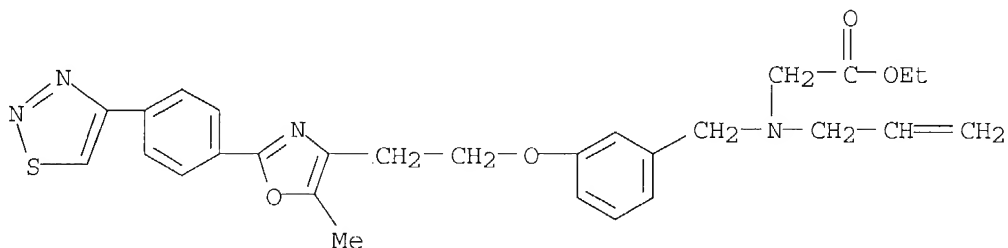
IT 530129-62-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of carboxylic acid derivs. as peroxisome proliferator activated receptor regulators)

RN 530129-62-5 CAPLUS

CN Glycine, N-[[3-[2-[5-methyl-2-[4-(1,2,3-thiadiazol-4-yl)phenyl]-4-oxazolyl]ethoxy]phenyl]methyl]-N-2-propenyl-, ethyl ester (9CI) (CA INDEX NAME)



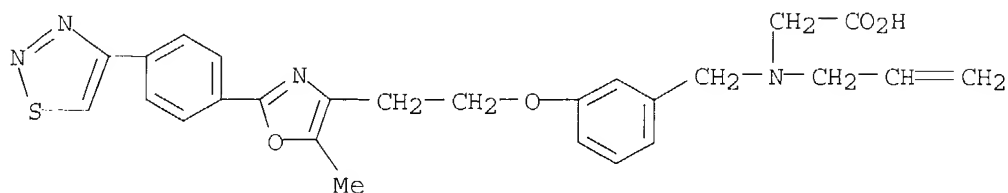
IT 530129-81-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of carboxylic acid derivs. as peroxisome proliferator activated receptor regulators)

RN 530129-81-8 CAPLUS

CN Glycine, N-[[3-[2-[5-methyl-2-[4-(1,2,3-thiadiazol-4-yl)phenyl]-4-oxazolyl]ethoxy]phenyl]methyl]-N-2-propenyl-, sodium salt (9CI) (CA INDEX NAME)



● Na

REFERENCE COUNT:

12

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10/616,283

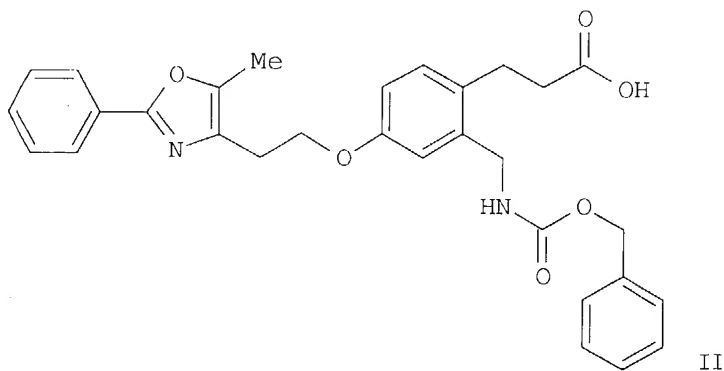
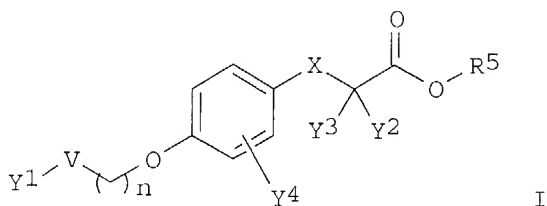
ACCESSION NUMBER: 2002:964190 CAPLUS
DOCUMENT NUMBER: 138:39272
TITLE: Preparation of 3-(oxazolylalkoxyphenyl)propionic acids and analogs as modulators of peroxisome proliferator activated receptors for treatment of diabetes and related conditions
INVENTOR(S): Gossett, Lynn Stacy; Green, Jonathan Edward; Henry, James Robert; Jones, Winton Dennis, Jr.; Matthews, Donald Paul; Shen, Quan Rong; Smith, Daryl Lynn; Vance, Jennifer Ann; Warshawsky, Alan M.
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 438 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100403	A1	20021219	WO 2002-US15143	20020524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: US 2001-296701P P 20010607

OTHER SOURCE(S): MARPAT 138:39272

GI



AB Title compds. I [wherein n = 2-5; V = a bond or O; X = CH₂ or O; p = 0 or 1; m = 1-4; Y₁ = (un)substituted (hetero)aryl; Y₂ and Y₃ = independently H, alkyl, or alkoxy; Y₄ = (un)substituted alk(en/yn)ylaminoalkyl, carboxyaminoalkyl, (thio)ureidoalkyl, carbamoylalkyl, aminoalkyl, alkoxyalkyl, alkylthioalkyl, or CN; R₅ = H or alkyl; and pharmaceutically acceptable salts, solvates, hydrates, or stereoisomers thereof] were prepared as peroxisome proliferator activated receptor (PPAR) modulators (no data). For example, 3-[2-(1,3-dioxo-1,3-dihydroisoindolo-2-ylmethyl)-4-hydroxyphenyl]propionic acid tert-Bu ester was coupled with toluene-4-sulfonic acid 2-(5-methyl-2-phenyloxazol-4-yl)ethyl ester in the presence of Cs₂CO₃ in DMF. Deprotection of the amine using NaBH₄ in isopropanol followed by conversion to the carbamate and deesterification gave II. I are useful for the treatment of Syndrome X, Type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to Syndrome X, as well as cardiovascular diseases (no data).

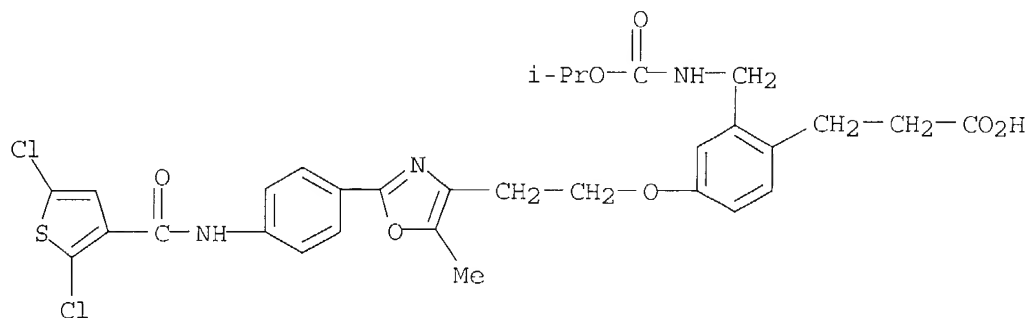
IT **478543-37-2P**, 3-[4-[2-[2-[4-[(2,5-Dichloro-3-thienylcarbonyl)amino]phenyl]-5-methyloxazol-4-yl]ethoxy]-2-(isopropoxycarbonylamino)methyl]phenyl]propionic acid

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(PPAR modulator; preparation of (oxazolylalkoxyphenyl)propionic acids and analogs as PPAR modulators for treatment of diabetes and related conditions)

RN 478543-37-2 CAPLUS

CN Benzenepropanoic acid, 4-[2-[2-[4-[(2,5-dichloro-3-thienyl)carbonyl]amino]phenyl]-5-methyl-4-oxazolyl]ethoxy]-2-[[[(1-methylethoxy)carbonyl]amino]methyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:502825 CAPLUS

DOCUMENT NUMBER: 137:63237

TITLE: Preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compounds as antidiabetic and antiobesity agents

INVENTOR(S): Cheng, Peter T.; Devasthale, Pratik; Jeon, Yoon; Chen, Sean; Zhang, Hao

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: U.S., 190 pp., Cont.-in-part of U.S. Ser. No. 664,598. CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

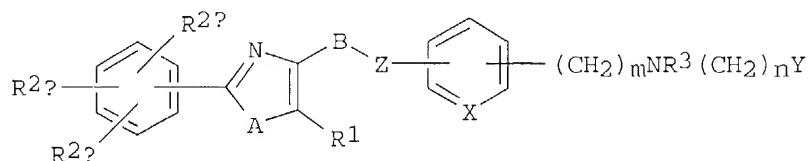
FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

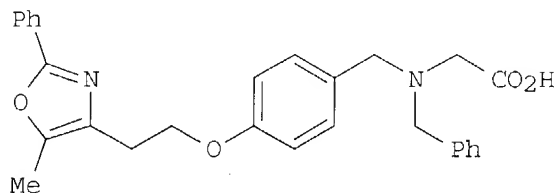
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6414002	B1	20020702	US 2001-812960	20010320
US 2003069275	A1	20030410	US 2002-80965	20020222
US 2003087935	A1	20030508	US 2002-81075	20020222
US 2003096846	A1	20030522	US 2002-80981	20020222
US 6653314	B2	20031125		
PRIORITY APPLN. INFO.:			US 1999-155400P	P 19990922
			US 2000-664598	A2 20000918
			US 2001-812960	A3 20010320

OTHER SOURCE(S): MARPAT 137:63237

GI



I



II

AB Title compds. I [wherein Q = C, N; A = O, S; B = (CH₂)_x; Z = O, bond; X = CH, N; R₁ = H, alkyl; R₂ = H, alkyl, alkoxy, halo, amino; R₃ = H, alkyl, aralkyl, aryloxy, carbonyl, alkoxy, carbonyl, aryl, carbonyl, alkyl, carbonyl, aryl, heteroaryl, hydroxyalkyl, aryloxy, arylalkyl, etc.; R_{2a}, R_{2b}, R_{2c} = H, alkyl, alkoxy, halo, amino; Y = CO₂R₄, 1-tetrazolyl, PO(OR_{4a})R₅; R₄ = H, alkyl, prodrug or ester; R_{4a} = H, prodrug ester; R₅ = alkyl, aryl; x = 1-4; m, n = 1, 2] were prepared as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). For example, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4-ethanol, Ph₃P, and DEAD were stirred in THF at 0°-room temperature to give 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde (65%). Addition of N-benzylglycine Et ester and NaBH(OAc)₃ in 1,2-dichloroethane afforded the benzylamine derivative (55%), which was stirred with aqueous NaOH in MeOH for

14 h to give the title compound II (71%). I are useful for the treatment of diabetes, especially Type II diabetes, as well as hyperglycemia, hyperinsulinemia, hyperlipidemia, obesity, atherosclerosis, and related diseases (no data).

IT **331742-86-0P**, Glycine, N-[[[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N-[(5-nitro-2-thienyl)carbonyl]-
331743-64-7P, Glycine, N-[[[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N-[[[3-(methylthio)phenyl]amino]carbonyl]-
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

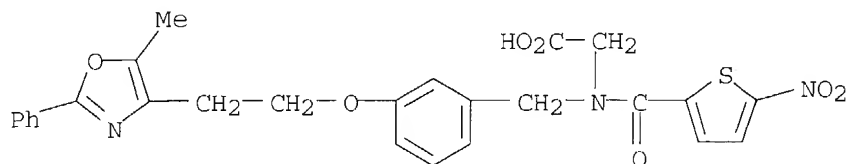
(preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related

10/616,283

compds. as antidiabetic and antiobesity agents)

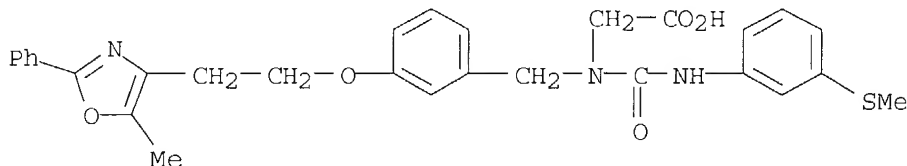
RN 331742-86-0 CAPLUS

CN Glycine, N-[[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N-
[(5-nitro-2-thienyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 331743-64-7 CAPLUS

CN Glycine, N-[[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N-
[[[3-(methylthio)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:228872 CAPLUS

DOCUMENT NUMBER: 134:266299

TITLE: Preparation of oxazolyl- and
thiazolylalkoxybenzylglycines and related compounds as
antidiabetic and antiobesity agents.

INVENTOR(S): Cheng, Peter T. W.; Devasthale, Pratik; Jeon, Yoon T.;
Chen, Sean; Zhang, Hao

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 362 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

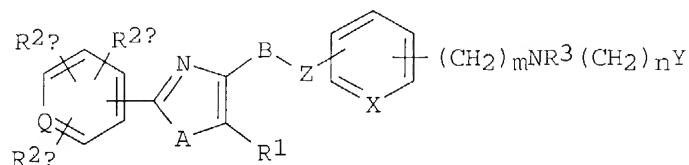
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021602	A1	20010329	WO 2000-US25710	20000919
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
EP 1218361	A1	20020703	EP 2000-965172	20000919
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL			
BR 2000014189	A	20020820	BR 2000-14189	20000919

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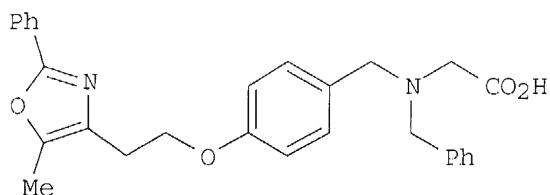
JP 2003509503	T2	20030311	JP 2001-524981	20000919
NO 2002001408	A	20020514	NO 2002-1408	20020321
PRIORITY APPLN. INFO.:			US 1999-155400P	P 19990922
			WO 2000-US25710	W 20000919

OTHER SOURCE(S): MARPAT 134:266299

GI



I



II

AB Title compds. [I; Q = C, N; A = O, S; B = (CH₂)_x; Z = O, bond; X = CH, N; R₁ = H, alkyl; R₂ = H, alkyl, alkoxy, halo, amino; R₃ = H, alkyl, aralkyl, aryloxy, alkoxy, halo, amino; Y = CO₂R₄, 1-tetrazolyl, PO(OR_{4a})R₅; R₄ = H, alkyl, prodrug or ester; R_{4a} = H, prodrug ester; R₅ = alkyl, aryl; x = 1-4; m, n = 1, 2], were prepared as modulators of blood glucose levels, triglyceride levels, insulin levels, and non-esterified fatty acid levels (no data). Thus, 4-hydroxybenzaldehyde, 5-methyl-2-phenyloxazole-4-ethanol, Ph₃P, and DEAD were stirred in THF at 0°-room temperature to give 65% 4-(5-methyl-2-phenyloxazole-4-ethyl)benzaldehyde. This was stirred 12 h with N-benzylglycine Et ester and NaBH(OAc)₃ in 1,2-dichloroethane to give 55% benzylamine derivative, which was stirred 14 h with aqueous NaOH in MeOH to give 71% title compound (II).

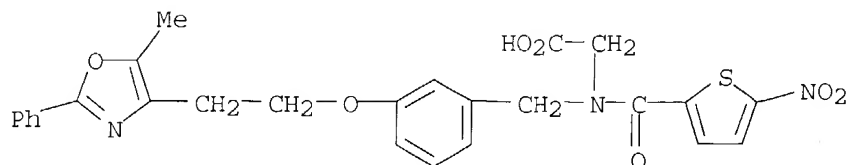
IT 331742-86-0P 331743-64-7P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxazolyl- and thiazolylalkoxybenzylglycines and related compds. as antidiabetic and antiobesity agents)

RN 331742-86-0 CAPLUS

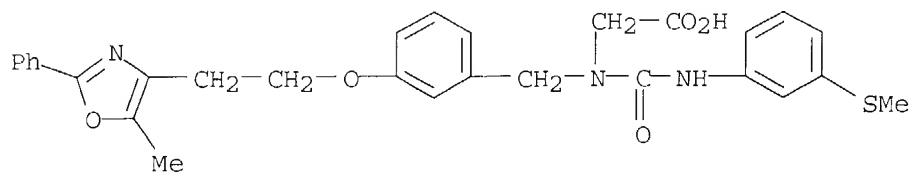
CN Glycine, N-[[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N-[(5-nitro-2-thienyl)carbonyl]- (9CI) (CA INDEX NAME)



RN 331743-64-7 CAPLUS

10/616,283

CN Glycine, N-[[3-[2-(5-methyl-2-phenyl-4-oxazolyl)ethoxy]phenyl]methyl]-N-
[[[3-(methylthio)phenyl]amino]carbonyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 08:47:59 ON 30 MAR 2004)

FILE 'REGISTRY' ENTERED AT 08:48:09 ON 30 MAR 2004

L1 STRUCTURE UPLOADED
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L3 498238 S 3-4/NR AND 3-6/N AND 3-7/O AND 0-1/S
L4 0 S L1 SAM SUB=L3
L5 4 S L1 FULL SUB=L3

FILE 'CAPLUS' ENTERED AT 08:50:34 ON 30 MAR 2004

L6 6 S L5

FILE 'REGISTRY' ENTERED AT 08:51:54 ON 30 MAR 2004

L7 STRUCTURE UPLOADED
L8 1 S L7
L9 510038 S 3-4/NR AND 3-7/N AND 3-7/O AND 0-1/S
L10 0 S L7 SAM SUB=L9
L11 6 S L7 FULL SUB=L9

FILE 'CAPLUS' ENTERED AT 08:54:13 ON 30 MAR 2004

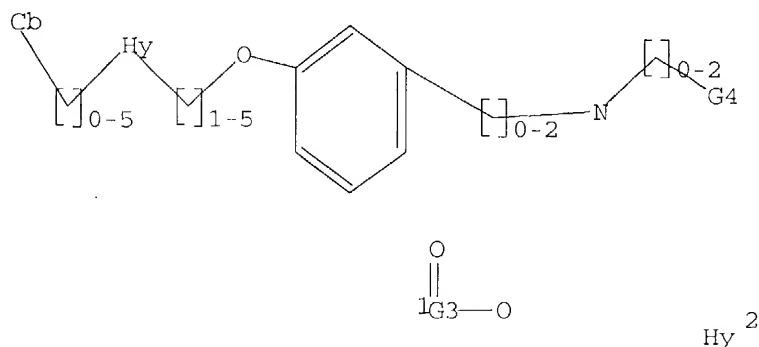
L12 4 S L11

=> d 17

L7 HAS NO ANSWERS

L7 STR

10/616,283



G1

G2

G3 C,P

G4 [01], [02]

Structure attributes must be viewed using STN Express query preparation.

=> => d ibib abs hitstr 1-12

L6 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:424638 CAPLUS

DOCUMENT NUMBER: 137:140770

TITLE: A Novel Peptide-Based Encoding System for "One-Bead One-Compound" Peptidomimetic and Small Molecule Combinatorial Libraries

AUTHOR(S): Liu, Ruiwu; Marik, Jan; Lam, Kit S.

CORPORATE SOURCE: Division of Hematology & Oncology Department of Internal Medicine, UC Davis Cancer Center University of California Davis, Sacramento, CA, 95817, USA

SOURCE: Journal of the American Chemical Society (2002), 124(26), 7678-7680

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The "one-bead one-compound" (OBOC) combinatorial library method is highly efficient, especially when used with well-established on-bead binding or functional assays. Literally, millions of compds. can be screened concurrently within 1 to 2 days. However, structure determination of peptidomimetic and small mol. compds. on one single bead is not trivial. A novel, highly efficient, and robust peptide-based encoding system has been developed for OBOC peptidomimetic and small mol. combinatorial libraries. In this system, topol. segregated bifunctional beads, which are made by a simple biphasic solvent strategy, are employed for the preparation and screening of an OBOC combinatorial peptidomimetic and small mol. libraries. Testing mols. are on the outer layer, and the coding tags in the interior of the bead do not interfere with screening. The coding tag is a peptide containing a large number of unnatural α -amino acids derived from different building blocks used for generating the peptidomimetic or small mol. By coupling common building blocks simultaneously to the scaffold of the testing compound and to the side chains of the α -amino acids on the coding peptide, extra synthetic steps are eliminated and the amount of undesirable side products is minimized. Pos. bead decoding is easy and straightforward as there is no

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Inventor Name Search Result

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Last Name = CHENG

First Name = PETER

Application#	Patent#	Status	Date Filed	Title	Inventor Name 51
<u>60417668</u>	Not Issued	020	10/10/2002	METHOD OF LUBRICATING MULTIPLE MAGNETIC DISKS IN CLOSE PROXIMITY	CHENG, PETER
<u>60408633</u>	Not Issued	020	09/06/2002	NON-NUCLEOSIDIC COUMARIN DERIVATIVES AS POLYNUCLEOTIDE-CROSSLINKING AGENTS	CHENG, PETER C.
<u>60394553</u>	Not Issued	159	07/09/2002	SUBSTITUTED HETEROCYCLIC DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTI OBESITY AGENTS AND METHOD	CHENG, PETER T. W.
<u>60394508</u>	Not Issued	159	07/09/2002	SUBSTITUTED HETEROCYCLIC DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTI OBESITY AGENTS AND METHOD	CHENG, PETER T. W.
<u>60302755</u>	Not Issued	159	07/03/2001	INVERTIBLE TELEPHONE EARPIECE	CHENG, PETER
<u>60294505</u>	Not Issued	159	05/30/2001	CONFORMATIONALLY CONSTRAINED ANALOGS USEFUL AS ANTIDIABETIC AND ANTI OBESITY AGENTS AND METHOD	CHENG, PETER T.
<u>60294380</u>	Not Issued	159	05/30/2001	SUBSTITUTED AZOLE ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTI OBESITY AGENTS AND METHOD	CHENG, PETER T.
<u>29131643</u>	<u>D445065</u>	150	10/25/2000	BOW	CHENG, PETER S.C.
<u>29127321</u>	Not Issued	164	08/03/2000	BOW	CHENG, PETER S.C.
<u>10737210</u>	Not	020	12/16/2003	SUBSTITUTED ACID DERIVATIVES	CHENG,

		Issued			USEFUL AS ANTIDIABETIC AND ANTI OBESITY AGENTS AND METHOD	PETER T
	<u>10735174</u>	Not Issued	018	01/01/0001	ASSAYS USING CROSSLINKABLE IMMOBILIZED NUCLEIC ACIDS	CHENG, PETER C
4	<u>10655876</u>	Not Issued	018	09/05/2003	SUBSTITUTED ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTI OBESITY AGENTS AND METHOD	CHENG, PETER T
	<u>10655021</u>	Not Issued	019	09/05/2003	NON-NUCLEOSIDIC COUMARIN DERIVATIVES AS POLYNUCLEOTIDE-CROSSLINKING AGENTS	CHENG, PETER C
4	<u>10616365</u>	Not Issued	030	07/08/2003	SUBSTITUTED HETEROCYCLIC DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTI OBESITY AGENTS AND METHOD	CHENG, PETER T.W.
✓	<u>10616283</u>	Not Issued	030	07/08/2003	SUBSTITUTED HETEROCYCLIC DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTI OBESITY AGENTS AND METHOD	CHENG, PETER T.W.
	<u>10434540</u>	Not Issued	030	05/09/2003	METHOD OF LUBRICATING MULTIPLE MAGNETIC STORAGE DISKS IN CLOSE PROXIMITY	CHENG, PETER
?	<u>10294525</u>	Not Issued	030	11/14/2002	SUBSTITUTED AZOLE ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTI OBESITY AGENTS AND METHOD	CHENG, PETER T
	<u>10272466</u>	Not Issued	093	10/15/2002	NUCLEIC ACID SEQUENCE DETECTION EMPLOYING PROBES COMPRISING NON-NUCLEOSIDIC COUMARIN DERIVATIVES AS POLYNUCLEOTIDE-CROSSLINKING AGENTS	CHENG, PETER C
#	<u>10153454</u>	Not Issued	164	05/22/2002	SUBSTITUTED AZOLE ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTI OBESITY AGENTS AND METHOD	CHENG, PETER T
	<u>10153342</u>	Not Issued	030	05/22/2002	CONFORMATIONALLY CONSTRAINED ANALOGS USEFUL AS ANTIDIABETIC AND ANTI OBESITY AGENTS AND METHOD	CHENG, PETER T
✂	<u>10081075</u>	Not Issued	094	02/22/2002	SUBSTITUTED ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTI OBESITY AGENTS AND METHOD	CHENG, PETER T

2	<u>10080981</u>	<u>6653314</u>	150	02/22/2002	SUBSTITUTED ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T.
f	<u>10080965</u>	Not Issued	041	02/22/2002	SUBSTITUTED ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T.
	<u>10021923</u>	Not Issued	161	12/13/2001	BEVERAGE CONTAINER ACCESSORIES	CHENG, PETER
	<u>09934679</u>	<u>6495682</u>	150	08/23/2001	PROCESS FOR RECOVERING CAPROLACTAM AND STEAM	CHENG, PETER W.H.
	<u>09886089</u>	<u>6587038</u>	150	06/22/2001	ALARM GENERATION USING A MOTOR	CHENG, PETER L.
	<u>09886088</u>	<u>6557961</u>	150	06/22/2001	VARIABLE INK FIRING FREQUENCY TO COMPENSATE FOR PAPER COCKLING	CHENG, PETER L.
	<u>09812960</u>	<u>6414002</u>	150	03/20/2001	SUBSTITUTED ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T.
	<u>09730060</u>	<u>6477789</u>	150	12/05/2000	VENTILATED SHOE INSOLE HAVING MINIMAL HEIGHT FRONT REGION	CHENG, PETER
	<u>09679759</u>	<u>6561393</u>	150	10/05/2000	COLLAPSIBLE HAT AND METHOD OF COLLAPSING THE HAT	CHENG, PETER S.C.
f	<u>09664598</u>	Not Issued	168	09/18/2000	SUBSTITUTED ACID DERIVATIVES USEFUL AS ANTIDIABETIC AND ANTIOBESITY AGENTS AND METHOD	CHENG, PETER T.
	<u>09660352</u>	<u>6421581</u>	150	09/12/2000	PRINTER WITH IMPROVED PAGE FEED	CHENG, PETER L.
	<u>09551305</u>	<u>6573048</u>	150	04/18/2000	DEGRADABLE NUCLEIC ACID PROBES AND NUCLEIC ACID DETECTION METHODS	CHENG, PETER C.
	<u>09496106</u>	<u>6357641</u>	150	02/01/2000	ACCESSORY HOLDER	CHENG, PETER
	<u>09390124</u>	<u>6495676</u>	150	09/03/1999	NUCLEIC ACID SEQUENCE DETECTION EMPLOYING PROBES COMPRISING NON-NUCLEOSIDIC COUMARIN DERIVATIVES AS POLYNUCLEOTIDE-CROSSLINKING AGENTS	CHENG, PETER C.
	<u>09189294</u>	<u>6303799</u>	150	11/10/1998	POLYNUCLEOTIDE CROSSLINKING AGENTS	CHENG, PETER C.

<u>08415910</u>	Not Issued	161	04/03/1995	PROCESS FOR PREPARING DIOXOLENONE DERIVATIVES USED FOR MAKING PRODRUG ESTERS AND INTERMEDIATES	CHENG, PETER T.
<u>07867788</u>	<u>D342921</u>	150	04/10/1992	GIFT PACKAGE BOW	CHENG, PETER S. C.
<u>07857512</u>	<u>5240750</u>	150	03/25/1992	DECORATIVE THREE-DIMENSIONAL, HEART-SHAPED BOW AND METHOD OF MAKING SAME	CHENG, PETER S.C.
<u>07856338</u>	<u>D343143</u>	150	03/23/1992	BOW DECORATION	CHENG, PETER S. C.
<u>07536702</u>	<u>5023118</u>	250	06/12/1990	ARTIFICIAL FLOWER WITH INFLATABLE PETALS AND/OR INFLATABLE MULTIPLE PETAL ASSEMBLIES	CHENG, PETER S. C.
<u>07536481</u>	<u>D327662</u>	150	06/12/1990	INFLATABLE BOUQUET	CHENG, PETER S.C.
<u>07530194</u>	Not Issued	161	05/29/1990	CLEANABLE ACCESSORY FOR CONVERTING EATING UTENSILS INTO SERVING TONGS	CHENG, PETER S. C.
<u>07266626</u>	<u>D307493</u>	150	11/03/1988	FLAT TOOTHPICK DISPENSER CARD	CHENG, PETER S.C.
<u>07266625</u>	<u>D319419</u>	150	11/03/1988	COMBINED STREAMER DECORATION AND CLOSURE	CHENG, PETER S. C.
<u>07261186</u>	<u>D316801</u>	150	10/24/1988	FRUIT PEELER	CHENG, PETER S. C.
<u>07092931</u>	<u>D311156</u>	150	09/04/1987	PULL BOW	CHENG, PETER S.C.
<u>06937873</u>	<u>4712267</u>	150	12/04/1986	CONVERTIBLE TOOTHBRUSH	CHENG, PETER S. C.
<u>06907002</u>	<u>4755796</u>	150	09/15/1986	KEYBOARD FOR MINIATURE DATA PROCESSING DEVICES	CHENG, PETER S. C.
<u>06879569</u>	<u>4656064</u>	150	06/27/1986	DECORATIVE BOW-FORMING RIBBON ASSEMBLY	CHENG, PETER S. C.

<u>06846055</u>	<u>4693695</u>	150	03/31/1986	ASCENDING AND DESCENDING BALLOON ACTION TOY	CHENG , PETER S.C.
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